

Drugs Acting on Cardiovascular System

Anti-Arrhythmic Drugs

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Introduction:

- Anti-arrhythmic drugs are agents designed to suppress abnormal rhythms of the heart (arrhythmias) by restoring normal electrical conduction. Arrhythmias occur due to:
 - Abnormal impulse formation (ectopic foci, triggered activity)
 - Abnormal impulse conduction (reentry circuits, conduction blocks)
 - Altered automaticity of pacemaker cells (SA or AV node)
- These disturbances can lead to symptoms ranging from palpitations, dizziness, syncope, to sudden cardiac death.

Electrophysiological Basis of Arrhythmias:

- The heart's electrical activity is governed by action potentials generated by ion movement through specific channels:
 - **Phase 0 (Depolarization):** Na^+ influx
 - **Phase 1–3 (Repolarization):** K^+ efflux, Ca^{2+} influx
 - **Phase 4 (Resting potential):** Maintained by Na^+/K^+ ATPase
- Disruptions in these phases result in tachyarrhythmias (fast rhythm) or bradyarrhythmias (slow rhythm).

Vaughan Williams Classification System:

Class	Main Action	Example Drugs	Mechanism
I (Na^+ Blockers)	Decrease depolarization velocity	Quinidine, Lidocaine, Phenytoin	Block Na^+ channels, reduce excitability
II (β-blockers)	Slow SA/AV node conduction	Propranolol, Metoprolol	Inhibit sympathetic stimulation
III (K^+ Blockers)	Prolong repolarization	Amiodarone, Sotalol	Delay repolarization ($\uparrow$ refractory period)
IV (Ca^{2+} Blockers)	Depress nodal conduction	Verapamil, Diltiazem	Reduce Ca^{2+} influx in nodal tissue

Other agents like **digoxin**, **adenosine**, and **magnesium sulfate** fall outside this system but are used in specific arrhythmias.

Therapeutic Uses:

- Atrial fibrillation/flutter
- Ventricular tachycardia/fibrillation
- Paroxysmal supraventricular tachycardia (PSVT)
- Premature atrial/ventricular contractions

Various Anti-Arrhythmic Drugs

1. Quinidine Sulphate

Chemical Name:

- (S)-Quinidine sulfate dihydrate

Mechanism:

- Class IA anti-arrhythmic; blocks sodium channels (Na^+) and delays repolarization by potassium channel blockade.

Uses:

- Atrial fibrillation and flutter
- Ventricular arrhythmias
- Malaria (less preferred)

Stability & Storage:

- Stable under normal conditions
- Store in a tightly closed container, protected from light and moisture at room temperature (15–30°C)

Formulations:

- **Tablets:** 200 mg, 300 mg
- **Extended-release tablets:** 324 mg

Popular Brand Names:

- Cardioquin
- Quinaglute
- Quinidex

2. Procainamide Hydrochloride

Chemical Name:

- 4-Amino-N-(2-diethylaminoethyl)benzamide hydrochloride

Mechanism:

- Class IA anti-arrhythmic; Na^+ channel blocker and K^+ channel inhibition prolongs action potential.

Uses:

- Ventricular arrhythmias (e.g., PVCs, VT)
- Supraventricular tachycardia
- Atrial fibrillation

Stability & Storage:

- Stable when dry; hydrolyzes slowly in solution
- Store in well-closed containers at 15–30°C, protect from light

Formulations:

- **Tablets:** 250 mg, 500 mg
- **Injection:** 100 mg/mL

Popular Brand Names:

- Pronestyl
- Procan SR

3. Verapamil

Chemical Name:

- (RS)-2-(3,4-Dimethoxyphenyl)-5-[2-(3,4-dimethoxyphenyl)ethylamino]-2-propanol

Mechanism:

- Class IV anti-arrhythmic; calcium channel blocker—reduces AV node conduction.

Uses:

- Supraventricular tachycardia
- Atrial fibrillation
- Hypertension
- Angina pectoris

Stability & Storage:

- Light-sensitive, air-stable
- Store in airtight containers, away from light, at 15–30°C

Formulations:

- **Tablets:** 40 mg, 80 mg
- **SR tablets/capsules:** 120 mg, 180 mg, 240 mg
- **Injection:** 2.5 mg/mL

Popular Brand Names:

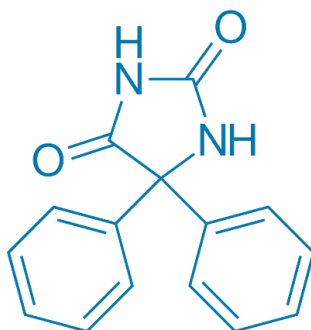
- Calan
- Isonitin
- Verelan

4. Phenytoin Sodium*

Chemical Name:

- Sodium 5,5-diphenylimidazolidine-2,4-dione

Chemical Structure:



- Hydantoin ring with two phenyl groups
- (*Mark: Diphenyl substitution at 5-position*)

Mechanism:

- Class IB anti-arrhythmic; stabilizes neuronal membranes by modulating Na^+ channels.

Uses:

- Ventricular arrhythmias (especially digitalis-induced)
- Epilepsy (primary use)

Stability & Storage:

- Hygroscopic; sensitive to light and CO_2
- Store tightly closed in dry place at 15–30°C, protect from light

Formulations:

- **Capsules:** 100 mg
- **Extended-release:** 100 mg, 200 mg
- **Injection:** 50 mg/mL (IV use only)

Popular Brand Names:

- Dilantin
- Eptoin
- Fenytal

5. Lidocaine Hydrochloride

Chemical Name:

- 2-(Diethylamino)-N-(2,6-dimethylphenyl)acetamide hydrochloride

Mechanism:

- Class IB anti-arrhythmic; blocks sodium channels, especially in depolarized cells.

Uses:

- Ventricular arrhythmias (acute MI, cardiac surgery)
- Local anesthesia



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